

CLAIMS

What is claimed is:

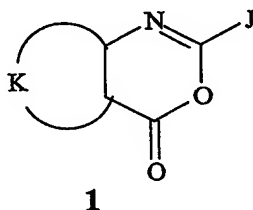
1. A method for preparing a fused oxazinone, comprising:

(1) contacting a carboxylic acid with a sulfonyl chloride in the presence of an optionally substituted pyridine compound, the nominal mole ratio of sulfonyl chloride to carboxylic acid being from about 0.75 to 1.5;

(2) contacting the mixture prepared in (1) with an *ortho*-amino aromatic carboxylic acid in the presence of an optionally substituted pyridine compound, the nominal mole ratio of the *ortho*-amino aromatic carboxylic acid to carboxylic acid charged in (1) being from about 0.8 to 1.2; and

(3) adding additional sulfonyl chloride to the mixture prepared in (2), the nominal mole ratio of additional sulfonyl chloride added in (3) to carboxylic acid charged in (1) being at least about 0.5.

2. The method of Claim 1 wherein a fused oxazinone of Formula 1



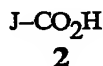
wherein

J is an optionally substituted carbon moiety; and

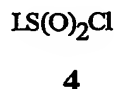
K is, together with the two contiguous linking carbon atoms, a fused phenyl ring or a fused 5- or 6-membered heteroaromatic ring, each ring optionally substituted;

is prepared by

(1) contacting a carboxylic acid of Formula 2



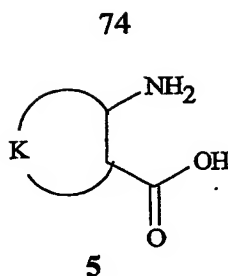
with a sulfonyl chloride of Formula 4



wherein L is selected from alkyl, haloalkyl, and phenyl optionally substituted with from one to three substituents independently selected from alkyl or halogen;

in the presence of an optionally substituted pyridine compound;

(2) contacting the mixture prepared in (1) with an *ortho*-amino carboxylic acid of Formula 5



in the presence of an optionally substituted pyridine compound; and

(3) contacting the mixture prepared in (2) with additional sulfonyl chloride of Formula 4.

3. The method of Claim 2 wherein the nominal mole ratio of sulfonyl chloride to
5 carboxylic acid in (1) is from about 1.0 to 1.5; the nominal mole ratio of the *ortho*-amino
aromatic carboxylic acid in (2) to carboxylic acid charged in (1) is from about 0.9 to 1.1; the
nominal mole ratio of additional sulfonyl chloride added in (3) to carboxylic acid charged in
(1) is from about 1.0 to 1.5.

4. The method of Claim 3 wherein the nominal mole ratio of the optionally
10 substituted pyridine compound charged in (1) to carboxylic acid charged in (1) is from about
1.0 to 2.0; additional optionally substituted pyridine compound is charged in (2); and the
nominal mole ratio of the additional optionally substituted pyridine compound charged in
(2) to carboxylic acid charged in (1) is from about 2.0 to 4.0.

5. The method of Claim 2 wherein
15 J is C₁–C₆ alkyl, C₂–C₆ alkenyl, C₂–C₆ alkynyl, C₃–C₈ cycloalkyl or C₃–C₈
cycloalkenyl, each optionally substituted; or
J is a phenyl ring, a benzyl group, a benzoyl group, a 5- or 6-membered heteroaromatic
ring, an aromatic 8-, 9- or 10-membered fused carbobicyclic ring system, an
aromatic 8-, 9- or 10-membered fused heterobicyclic ring system or a 5- or 6-
20 membered nonaromatic heterocyclic ring optionally including one or two ring
members selected from the group consisting of C(=O), SO or S(O)₂, each
optionally substituted.

6. The method of Claim 5 wherein
K is, together with the two contiguous linking carbon atoms, a fused phenyl ring
25 optionally substituted with from one to four substituents independently selected
from G, U, W or R¹³; or a fused 5- or 6-membered heteroaromatic ring
optionally substituted with from one to three substituents independently selected
from G, U, W or R¹³;

J is C₁–C₆ alkyl, C₂–C₆ alkenyl, C₂–C₆ alkynyl, C₃–C₈ cycloalkyl or C₃–C₈
30 cycloalkenyl, each optionally substituted with one or more substituents selected
from the group consisting of R¹², halogen, CN, NO₂, hydroxy, C₁–C₄ alkoxy,
C₁–C₄ alkylsulfinyl, C₁–C₄ alkylsulfonyl, C₁–C₄ alkylamino, C₂–C₈

dialkylamino, C₃-C₆ cycloalkylamino, and (C₁-C₄ alkyl)(C₃-C₆ cycloalkyl)amino; or

J is a phenyl ring, a benzyl group, a benzoyl group, a 5- or 6-membered heteroaromatic ring, an aromatic 8-, 9- or 10-membered fused carbobicyclic ring system, an aromatic 8-, 9- or 10-membered fused heterobicyclic ring system or a 5- or 6-membered nonaromatic heterocyclic ring optionally including one or two ring members selected from the group consisting of C(=O), SO or S(O)₂, each optionally substituted with from one to four substituents independently selected from G, U, W or R¹³;

each G is a 5- or 6-membered nonaromatic heterocyclic ring optionally including one or two ring members selected from the group consisting of C(=O), SO or S(O)₂, each optionally substituted with from one to four substituents independently selected from W;

each U is a phenyl ring, a benzyl group, a benzoyl group, a 5- or 6-membered heteroaromatic ring, an aromatic 8-, 9- or 10-membered fused carbobicyclic ring system, an aromatic 8-, 9- or 10-membered fused heterobicyclic ring system, each optionally substituted with from one to four substituents independently selected from W;

each W is independently C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, (C₁-C₄ alkyl)(C₃-C₆ cycloalkyl)amino or C₃-C₆ trialkylsilyl;

each R¹² is independently R¹⁹C(=E)-; R¹⁹C(=E)L-; R¹⁹LC(=E)-; (R¹⁹)LC(=E)L-; -O(Q=)P(OR¹⁹)₂; -SO₂LR¹⁸; or R¹⁹SO₂L-;

each R¹³ is B(OR¹⁷)₂; NH₂; SH; thiocyanato; C₃-C₈ trialkylsilyloxy; C₁-C₄ alkyl disulfide; SF₅; R¹⁹C(=E)-; R¹⁹C(=E)M-; R¹⁹MC(=E)-; (R¹⁹)MC(=E)M-; -OP(=Q)(OR¹⁹)₂; -S(O)₂MR¹⁹; R¹⁹S(O)₂M-;

each E is independently O, S, NR¹⁵, NOR¹⁵, NN(R¹⁵)₂, N-S=O, N-CN or N-NO₂;

each M is independently O, NR¹⁸ or S;

Q is O or S;

each R¹⁵ and each R¹⁹ is independently H; C₁-C₆ alkyl optionally substituted with one or more substituents selected from the group consisting of CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, CO₂H, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylcarbonyl, C₃-C₆

trialkylsilyl, and a phenyl ring optionally substituted with one to three substituents independently selected from W; C₁–C₆ haloalkyl; C₃–C₆ cycloalkyl; or a phenyl ring optionally substituted with from one to three substituents independently selected from W;

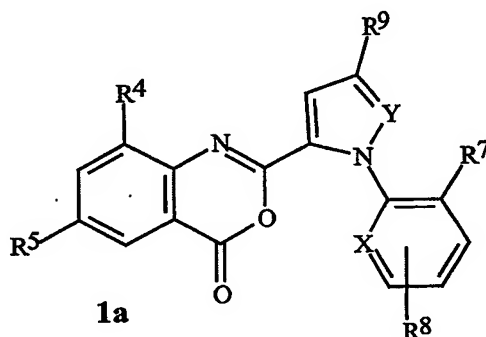
each R¹⁷ is independently H or C₁–C₄ alkyl; or

B(OR¹⁷)₂ can form a ring wherein the two oxygen atoms are linked by a chain of two to three carbons optionally substituted with one or two substituents independently selected from methyl or C₂–C₆ alkoxycarbonyl; and

each R¹⁸ is independently H, C₁–C₆ alkyl or C₁–C₆ haloalkyl.

7. The method of Claim 6 wherein K is, together with the two contiguous linking carbon atoms, a fused phenyl ring optionally substituted with from one to four substituents independently selected from W or R¹³.

8. The method of Claim 2 wherein a compound of Formula 1a



wherein

X is N or CR⁶;

Y is N or CH;

R⁴ is C₁–C₄ alkyl or halogen;

R⁵ is H, C₁–C₄ alkyl, C₁–C₄ haloalkyl or halogen;

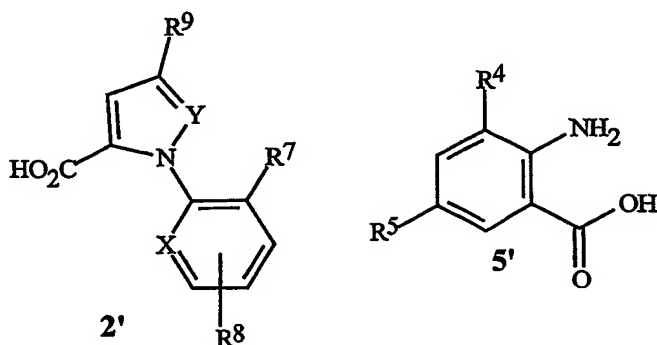
R⁶ and R⁷ are independently H, C₁–C₄ alkyl, C₁–C₄ haloalkyl, halogen, CN or C₁–C₄ haloalkoxy;

R⁸ is H, C₁–C₄ alkyl, C₂–C₄ alkenyl, C₂–C₄ alkynyl, C₃–C₆ cycloalkyl, C₁–C₄ haloalkyl, C₂–C₄ haloalkenyl, C₂–C₄ haloalkynyl, C₃–C₆ halocycloalkyl, halogen, CN, NO₂, C₁–C₄ alkoxy, C₁–C₄ haloalkoxy, C₁–C₄ alkylthio, C₁–C₄ alkylsulfinyl, C₁–C₄ alkylsulfonyl, C₁–C₄ alkylamino, C₂–C₈ dialkylamino, C₃–C₆ cycloalkylamino, (C₁–C₄ alkyl)(C₃–C₆ cycloalkyl)amino, C₂–C₄ alkylcarbonyl, C₂–C₆ alkoxycarbonyl, C₂–C₆ alkylaminocarbonyl, C₃–C₈ dialkylaminocarbonyl or C₃–C₆ trialkylsilyl;

R⁹ is CF₃, OCF₃, OCHF₂, OCH₂CF₃, S(O)_pCF₃, S(O)_pCHF₂ or halogen; and

p is 0, 1 or 2;

is prepared using a compound of Formula 2' as the Formula 2 compound and a compound of Formula 5' as the Formula 5 compound



9. The method of Claim 8 wherein

X is N;

Y is N;

R⁴ is CH₃, F, Cl or Br;

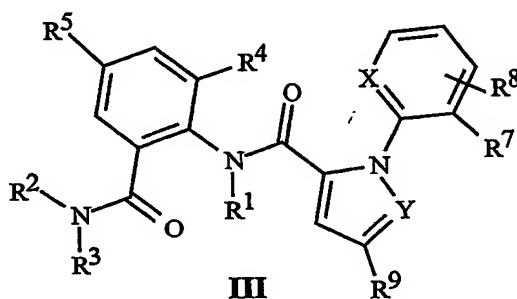
R⁵ is CF₃, F, Cl, Br or I;

R⁷ is Cl or Br;

R⁸ is H; and

R⁹ is CF₃, OCHF₂, OCH₂CF₃, Cl or Br.

10. A method for preparing a compound of Formula III



wherein

X is N or CR⁶;

Y is N or CH;

R¹ is H;

R² is H or CH₃;

R³ is C₁-C₆ alkyl;

R⁴ is C₁-C₄ alkyl or halogen;

R⁵ is H, C₁-C₄ alkyl, C₁-C₄ haloalkyl or halogen;

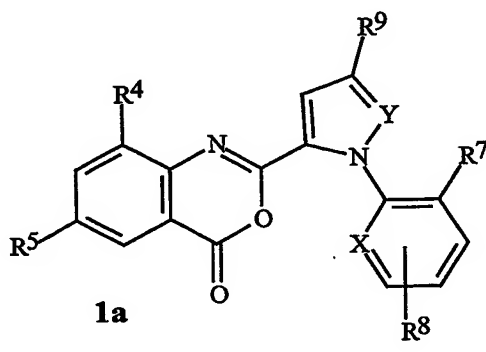
R^6 and R^7 are independently H, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, halogen, CN or C_1 - C_4 haloalkoxy;

R^8 is H, C_1 - C_4 alkyl, C_2 - C_4 alkenyl, C_2 - C_4 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_4 haloalkyl, C_2 - C_4 haloalkenyl, C_2 - C_4 haloalkynyl, C_3 - C_6 halocycloalkyl, halogen, CN, NO_2 , C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 alkylamino, C_2 - C_8 dialkylamino, C_3 - C_6 cycloalkylamino, $(C_1$ - C_4 alkyl)(C_3 - C_6 cycloalkyl)amino, C_2 - C_4 alkylcarbonyl, C_2 - C_6 alkoxy carbonyl, C_2 - C_6 alkylaminocarbonyl, C_3 - C_8 dialkylaminocarbonyl or C_3 - C_6 trialkylsilyl; and

R^9 is CF_3 , OCF_3 , $OCHF_2$, OCH_2CF_3 , $S(O)_pCF_3$, $S(O)_pCHF_2$ or halogen;

p is 0, 1 or 2;

using a compound of Formula 1a



characterized by:

preparing said compound of Formula 1a by the method of Claim 8.

11. The method of Claim 10 wherein

X is N;

Y is N;

R^2 is H or CH_3 ;

R^3 is C_1 - C_4 alkyl;

R^4 is CH_3 , F, Cl or Br;

R^5 is CF_3 , F, Cl, Br or I;

R^7 is Cl or Br;

R^8 is H; and

R^9 is CF_3 , $OCHF_2$, OCH_2CF_3 , Cl or Br.